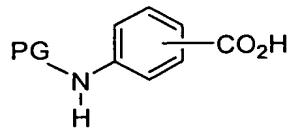


WHAT IS CLAIMED IS:

1 1. A method for preparing LXR ligands on a solid support, said
2 method comprising:
3 (a) attaching an aniline derivative to said solid support to provide a
4 support-bound aniline derivative;
5 (b) contacting said support-bound aniline derivative with an aldehyde or
6 ketone under reductively aminating conditions to provide a support-bound substituted
7 aniline derivative; and
8 (c) contacting said support-bound substituted aniline derivative with an
9 acylating agent to provide an LXR ligand on said solid support.

1 2. A method in accordance with claim 1, further comprising:
2 (d) removing said LXR ligand from said solid support.

1 3. A method in accordance with claim 1, wherein said aniline
2 derivative has the formula:



3 4. wherein PG is a protecting group, and said method further comprises a step between steps
5 (a) and (b) of removing said protecting group.

1 4. A method in accordance with claim 1, wherein said aldehyde or
2 ketone of step (b) is selected from the group consisting of an optionally substituted (C₁-
3 C₈)alkyl aldehyde and an optionally substituted dialkylketone.

1 5. A method in accordance with claim 1, wherein said aldehyde or
2 ketone of step (b) is selected from the group consisting of optionally substituted aryl
3 aldehyde and a ketone having the formula R³-C(O)-R⁴

4 wherein R³ and R⁴ are members each independently selected form the
5 group consisting of optionally substituted aryl, optionally substituted heteroaryl,
6 optionally substituted arylalkyl, optionally substituted heteroarylalkyl and optionally
7 substituted alkyl.

1 6. A method in accordance with claim 1, wherein said acylating agent
2 has the formula:

R¹-Y

4 wherein

5 R¹ is a member selected from the group consisting of optionally substituted (C₈-
6 C₁₈)bicycloalkyl, optionally substituted (C₈-C₁₈)tricycloalkyl, optionally
7 substituted (C₈-C₁₈)heterobicycloalkyl and optionally substituted (C₈-
8 C₁₈)heterotricycloalkyl; and

9 Y is a member selected from the group consisting of a carboxylic acid, a
10 carboxylate ester, a carboxylic acid chloride and other activated forms of
11 carboxylic acids.

1 7. A method in accordance with claim 1, wherein said solid support is
2 selected from the group consisting of 4-(bromomethyl)phenoxy methyl polystyrene,
3 Merrifield resin, Rink amide resin and Sieber resin.

1 8. A method in accordance with claim 4, wherein said acylating agent
2 has the formula:

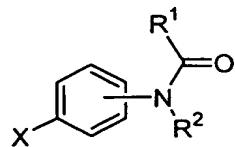
R¹-Y

4 wherein

R¹ is a member selected from the group consisting of optionally substituted (C₈-C₁₈)bicycloalkyl, optionally substituted (C₈-C₁₈)tricycloalkyl, optionally substituted (C₈-C₁₈)heterobicycloalkyl and optionally substituted (C₈-C₁₈)heterotricycloalkyl; and

9 Y is a member selected from the group consisting of a carboxylic acid, a
10 carboxylate ester, a carboxylic acid chloride and other activated forms of
11 carboxylic acids.

1 9. A method in accordance with claim 2, wherein said LXR ligands
2 have the formula:



4 wherein

5 R^1 is a member selected from the group consisting of optionally substituted (C_8 -
6 C_{18})bicycloalkyl, optionally substituted (C_8-C_{18})tricycloalkyl, optionally
7 substituted (C_8-C_{18})heterobicycloalkyl and optionally substituted (C_8-
8 C_{18})heterotricycloalkyl;

9 R^2 is a member selected from the group consisting of optionally substituted (C_1-
10 C_8)alkyl, optionally substituted aryl, optionally substituted heteroaryl,
11 optionally substituted arylalkyl and optionally substituted heteroarylalkyl;
12 and

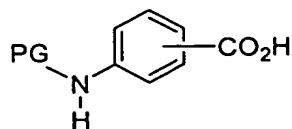
13 X is a member selected from the group consisting of $-CO_2R^{11}$, $-CH_2OR^{11}$,
14 $-C(O)R^{11}$, $-C(O)NR^{11}R^{12}$ and $-CH_2NR^{11}R^{12}$, wherein R^{11} and R^{12} are each
15 members independently selected from the group consisting of hydrogen
16 and optionally substituted (C_1-C_8)alkyl.

1 10. A method in accordance with claim 9, wherein

2 R^1 is a member selected from the group consisting of optionally
3 substituted optionally substituted tricyclo[3.3.1.1^{3,7}]decanyl, optionally substituted
4 bicyclo[3.2.1]octanyl, optionally substituted bicyclo[5.2.0]nonanyl,
5 bicyclo[4.3.2]undecanyl, optionally substituted tricyclo[2.2.1.0¹]heptanyl,
6 tricyclo[5.3.1.1¹]dodecanyl, optionally substituted tricyclo[5.4.0.0^{2,9}]undecanyl,
7 optionally substituted tricyclo[5.3.2.0^{4,9}]dodecanyl, optionally substituted
8 tricyclo[4.4.1.1^{1,5}]dodecanyl and optionally substituted tricyclo[5.5.1.0^{3,11}]tridecanyl
9 group.

1 11. A method in accordance with claim 9, wherein R^1 is a substituted
2 or unsubstituted adamantyl group.

1 12. A method in accordance with claim 1, wherein said solid support is
2 selected from the group consisting of a 4-(bromomethyl)phenoxyethyl polystyrene and
3 Merrifield resin; said aniline derivative has the formula:



5 wherein PG is a protecting group, and said method further comprises a
6 step between steps (a) and (b) of removing said protecting group; said aldehyde or ketone
7 of step (b) is selected from the group consisting of a optionally substituted (C₁-C₅)alkyl
8 aldehyde or ketone; and said acylating agent of step (c) has the formula:



9 wherein

10 R¹ is a member selected from the group consisting of optionally substituted(C₈-
11 C₁₈)bicycloalkyl, optionally substituted(C₈-C₁₈)tricycloalkyl, optionally
12 substituted(C₈-C₁₈)heterobicycloalkyl and optionally substituted(C₈-
13 C₁₈)heterotricycloalkyl; and

14 Y is a member selected from the group consisting of a carboxylic acid, a
15 carboxylate ester, a carboxylic acid chloride and other activated forms of
16 carboxylic acids.

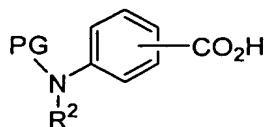
1 13. A method for preparing LXR ligands on a solid support, said
2 method comprising:

3 (a) attaching a substituted aniline derivative to said solid support to
4 provide a support-bound substituted aniline derivative; and

5 (b) contacting said support-bound substituted aniline derivative with an
6 acylating agent to provide an LXR ligand on a solid support.

1 14. A method in accordance with claim 13, further comprising:
2 (c) removing said LXR ligand from said solid support.

1 15. A method in accordance with claim 13, wherein said substituted
2 aniline derivative has the formula:



3 wherein

5 PG is a protecting group;

6 R² is a member selected from the group consisting of optionally substituted(C₁-
7 C₈)alkyl, optionally substituted aryl and optionally substituted heteroaryl;
8 and

9 said method further comprises a step between steps (a) and (b) of removing said
10 protecting group.

1 **16.** A method in accordance with claim 13, wherein said acylating
2 agent has the formula:

R¹-Y

4 wherein

R¹ is a member selected from the group consisting of optionally substituted(C₈-C₁₈)bicycloalkyl, optionally substituted(C₈-C₁₈)tricycloalkyl, optionally substituted(C₈-C₁₈)heterobicycloalkyl and optionally substituted(C₈-C₁₈)heterotricycloalkyl; and

Y is a member selected from the group consisting of carboxylic acid, carboxylate ester, carboxylic acid chloride and activated forms of carboxylic acids.

1 17. A method in accordance with claim 13, wherein said solid support
2 is selected from the group consisting of a 4-(bromomethyl)phenoxy methyl polystyrene,
3 Merrifield resin, Rink amide resin and Sieber resin.

1 **18.** A method in accordance with claim 15, wherein said acylating
2 agent has the formula:

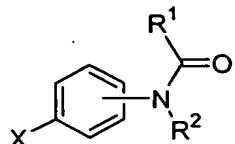
3 R¹-Y

4 wherein

5 R¹ is a member selected from the group consisting of optionally substituted (C₈-
6 C₁₈)bicycloalkyl, optionally substituted (C₈-C₁₈)tricycloalkyl, optionally
7 substituted (C₈-C₁₈)heterobicycloalkyl and optionally substituted (C₈-
8 C₁₈)heterotricycloalkyl; and

9 Y is a member selected from the group consisting of a carboxylic acid, a
10 carboxylate ester, a carboxylic acid chloride and other activated forms of
11 carboxylic acids.

1 **19.** A method in accordance with claim 14, wherein said LXR ligands
2 have the formula:



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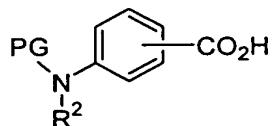
4 wherein

5 R¹ is a member selected from the group consisting of optionally substituted(C₈-
6 C₁₈)bicycloalkyl, optionally substituted (C₈-C₁₈)tricycloalkyl, optionally
7 substituted (C₈-C₁₈)heterobicycloalkyl and optionally substituted (C₈-
8 C₁₈)heterotricycloalkyl;

9 R² is a member selected from the group consisting of optionally substituted (C₁-
10 C₈)alkyl, optionally substituted aryl and optionally substituted heteroaryl;
11 and

12 X is a member selected from the group consisting of $\text{-CO}_2\text{R}^{11}$, $\text{-CH}_2\text{OR}^{11}$,
13 -C(O)R^{11} , $\text{-C(O)NR}^{11}\text{R}^{12}$ and $\text{-CH}_2\text{NR}^{11}\text{R}^{12}$, wherein R^{11} and R^{12} are each
14 members independently selected from the group consisting of hydrogen
15 and optionally substituted ($\text{C}_1\text{-C}_8$)alkyl.

20. A method in accordance with claim 13, wherein said substituted
aniline derivative has the formula:



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4 wherein

5 PG is a protecting group;

6 R² is a member selected from the group consisting of optionally substituted (C₁-
7 C₈)alkyl, optionally substituted aryl and optionally substituted heteroaryl;
8 and

9 said method further comprises a step between step (a) and (b) of removing said protecting
10 group; and said acylating agent has the formula:

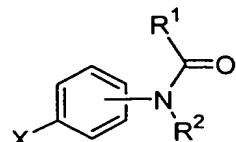
R¹-Y

12 wherein

13 R¹ is a member selected from the group consisting of optionally substituted (C₈-
14 C₁₈)bicycloalkyl, optionally substituted (C₈-C₁₈)tricycloalkyl, optionally

15 substituted (C₈-C₁₈)heterobicycloalkyl and optionally substituted (C₈-
16 C₁₈)heterotricycloalkyl; and
17 Y is a member selected from the group consisting of carboxylic acid, carboxylate
18 ester, carboxylic acid chloride and activated forms of carboxylic acids.

1 **21.** A combinatorial library comprising compounds of the formula



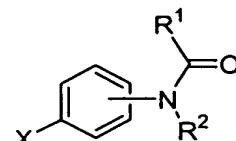
2 wherein

4 R¹ is a member selected from the group consisting of optionally substituted(C₈-
5 C₁₈)bicycloalkyl, optionally substituted (C₈-C₁₈)tricycloalkyl, optionally
6 substituted (C₈-C₁₈)heterobicycloalkyl and optionally substituted (C₈-
7 C₁₈)heterotricycloalkyl;

8 R² is a member selected from the group consisting of optionally substituted (C₁-
9 C₈)alkyl, optionally substituted aryl and optionally substituted heteroaryl;
10 and

11 X is a member selected from the group consisting of -CO₂R¹¹, -CH₂OR¹¹,
12 -C(O)R¹¹, -C(O)NR¹¹R¹² and -CH₂NR¹¹R¹², wherein R¹¹ and R¹² are each members
13 independently selected from the group consisting of a solid support, hydrogen and
14 optionally substituted (C₁-C₈)alkyl.

1 **22.** A method for synthesizing a combinatorial library comprising
2 compounds of the formula:



3 wherein

5 R¹ is a member selected from the group consisting of optionally substituted(C₈-
6 C₁₈)bicycloalkyl, optionally substituted (C₈-C₁₈)tricycloalkyl, optionally
7 substituted (C₈-C₁₈)heterobicycloalkyl and optionally substituted (C₈-
8 C₁₈)heterotricycloalkyl;

9 R² is a member selected from the group consisting of optionally substituted (C₁-
10 C₈)alkyl, optionally substituted aryl and optionally substituted heteroaryl;
11 and

12 X is a member selected from the group consisting of -CO₂R¹¹, -CH₂OR¹¹,
13 -C(O)R¹¹, -C(O)NR¹¹R¹² and -CH₂NR¹¹R¹², wherein R¹¹ and R¹² are each members
14 independently selected from the group consisting of hydrogen and optionally substituted
15 (C₁-C₈)alkyl; said method comprising:

16 (a) attaching an aniline derivative to a solid support to provide a support-
17 bound aniline derivative;

18 (b) contacting said support-bound aniline derivative with an aldehyde or
19 ketone under reductively aminating conditions to provide a support-bound substituted
20 aniline derivative; and

21 (c) contacting said support-bound substituted aniline derivative with an
22 acylating agent to provide an LXR ligand on said solid support.